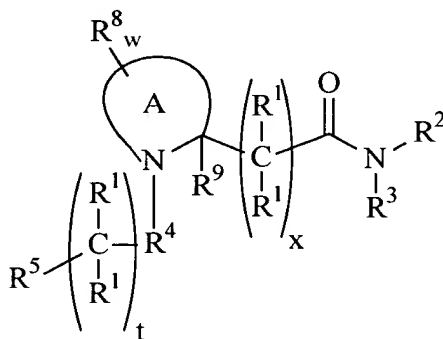


What is claimed is:

1. An active compound selected from the group consisting of a structure:



- 5 wherein w is 0 to about 6, x is 0 to about 10, and t is 0 to about 6;

A is a substituted heterocyclic group having about 4 to about 9 members;

- 10 R^1 is selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group,

- 15 R^2 and R^3 are bonded together to form a substituted heterocyclic group having about 4 to about 9 members, with the proviso that the substituted heterocyclic group optionally contains 1 or more members selected from the group consisting of O, and NR^{10} , wherein R^{10} is selected from the group consisting of hydrogen atom, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

- 20 R^4 is selected from the group consisting of $-S(O)_r-$, $-C(O)-$, $-C(O)-C(O)-$, and $-CH(R^1)-$;

R^5 is selected from the group consisting of $-NR^6(R^7)-$ and $-O_rR^6-$,

wherein r is 0 or 1;

- 25 R^6 is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted

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heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group; and

5 R^7 is selected from the group consisting of a hydrogen atom and R^6 ;

R^8 is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

10 R^9 is selected from the group consisting of a hydrogen atom and a hydrocarbon group; and

an optical isomer, a diastereomer, an enantiomer, a pharmaceutically-acceptable salt, a biohydrolyzable amide, a biohydrolyzable ester, and a biohydrolyzable imide of the structure.

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Sub B2 2. The compound of claim 1, wherein A has 5 to 6 members.

3. The compound of claim 1, wherein R^2 and R^3 form a substituted heterocyclic group having 5 to 6 members.

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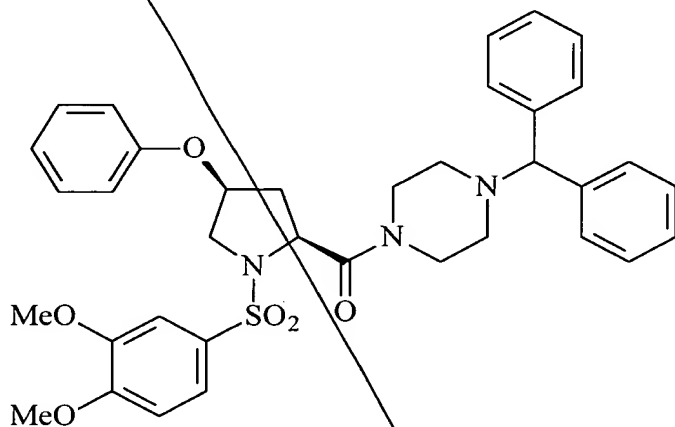
Sub B3 4. The compound of claim 3, wherein the substituted heterocyclic group is substituted with a group selected from the group consisting of an aromatic group; a substituted aromatic group; a heteroaromatic group; a substituted heteroaromatic group; a substituted hydrocarbon group, wherein the substituted hydrocarbon group is substituted with a group selected from the group consisting of an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group; and a substituted heterogeneous group, wherein the substituted heterogeneous group is substituted with a group selected from the group consisting of an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group.

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5. The compound of claim 1, wherein R^4 is $-S(O)_2-$ and R^5 is $-O, R^6$.

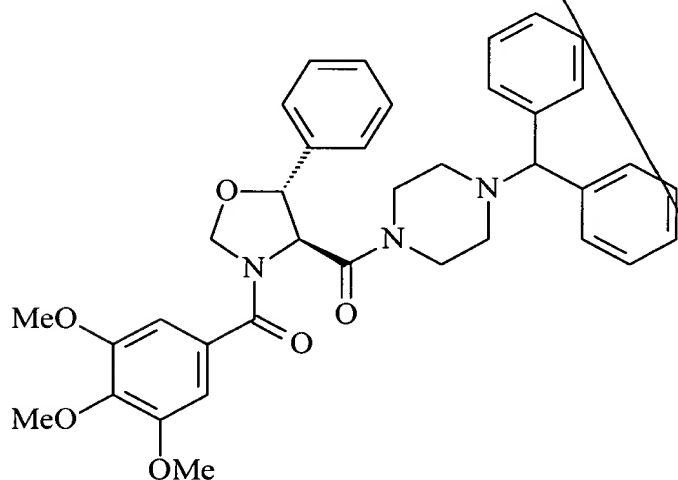
6. The compound of claim 5, wherein the compound has a formula:



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7. The compound of claim 1, wherein R^4 is $-C(O)-$ and R^5 is $-O, R^6$.

8. The compound of claim 7, wherein the compound has a formula:

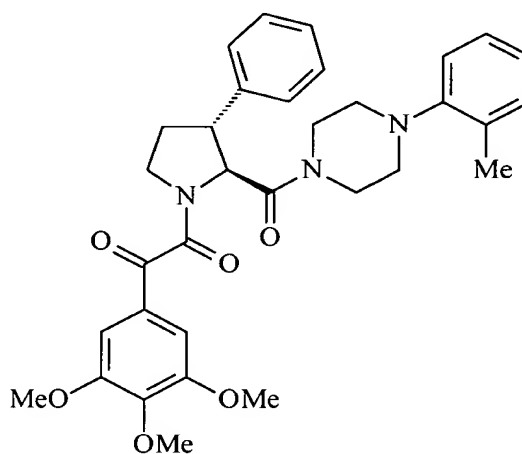
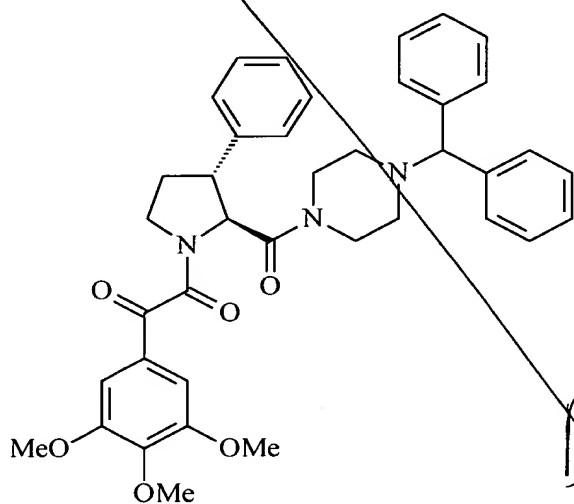


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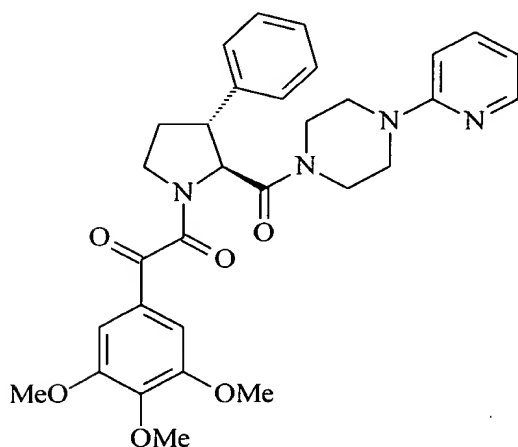
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9. The compound of claim 1, wherein R^4 is $-C(O)-C(O)-$ and R^5 is $-O, R^6$.

10. The compound of claim 9, wherein the compound has a formula selected from the group consisting of:

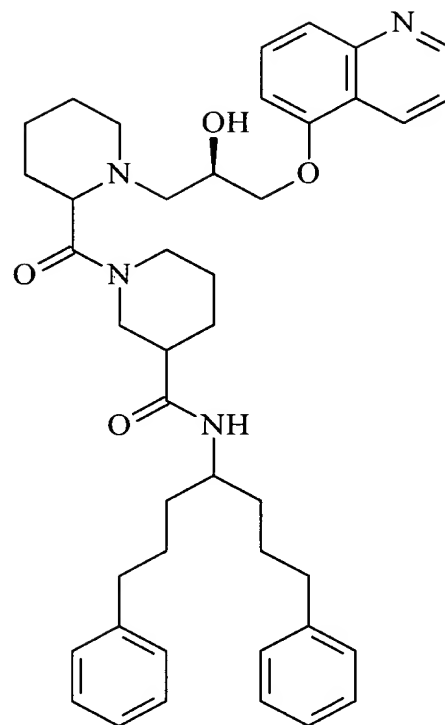
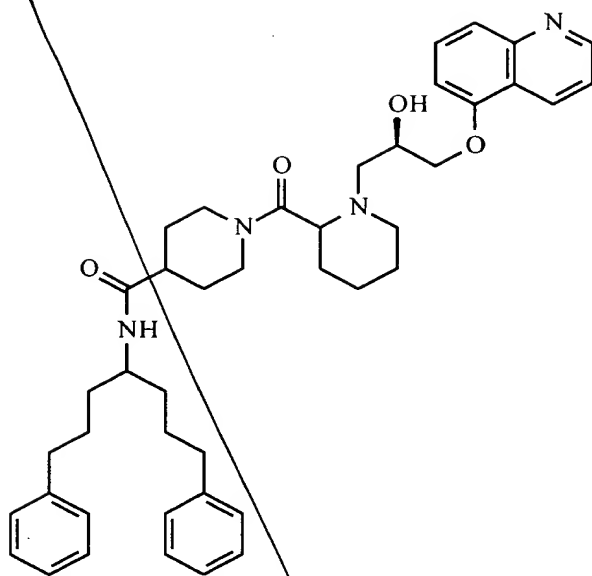


, and

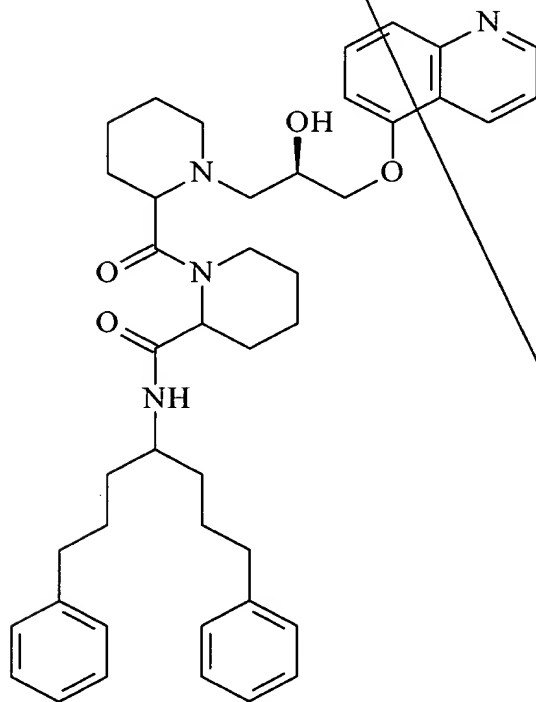


11. The compound of claim 1, wherein R^4 is $-\text{CH}(R^1)-$ and R^5 is $-\text{O}_iR^6$,

12. The compound of claim 11 wherein the compound has a formula selected from the group consisting of:

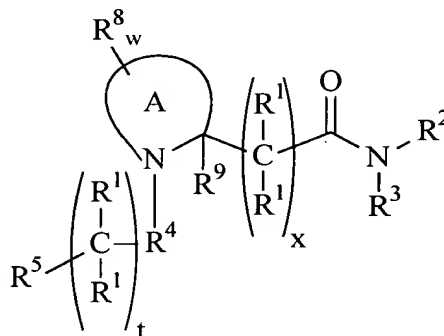


, and



13. A composition for treating multidrug resistance comprising:

(A) an active compound selected from the group consisting of a structure



wherein w is 0 to about 6, x is 0 to about 10, and t is 0 to about 6;

A is a substituted heterocyclic group having about 4 to about 9 members;

5 R^1 is selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group,

10 R^2 and R^3 are bonded together to form a substituted heterocyclic group having about 4 to about 9 members, with the proviso that the substituted heterocyclic group optionally contains 1 or more members selected from the group consisting of O, and NR^{10} , wherein R^{10} is selected from the group consisting of hydrogen atom, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

15 R^4 is selected from the group consisting of $-S(O)_2-$, $-C(O)-$, $-C(O)-C(O)-$, and $-CH(R^1)-$;

20 R^5 is selected from the group consisting of $-NR^6(R^7)-$ and $-O_rR^6-$,
wherein r is 0 or 1;

25 R^6 is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

R⁷ is selected from the group consisting of a hydrogen atom and R⁶;

R⁸ is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

10 R⁹ is selected from the group consisting of a hydrogen atom and a hydrocarbon group; and

an optical isomer, a diastereomer, an enantiomer, a pharmaceutically-acceptable salt, a biohydrolyzable amide, a biohydrolyzable ester, and a biohydrolyzable imide of the structure, and combinations thereof; and

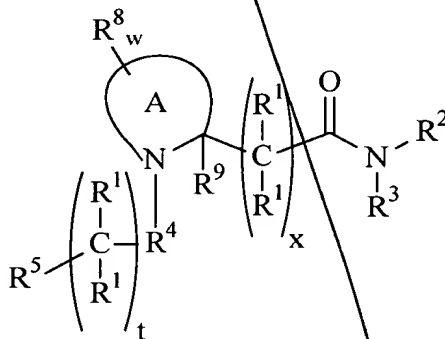
(B) a carrier.

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14. The composition of claim 13, further comprising: component (C) a therapeutic agent selected from the group consisting of (i) a cancer therapeutic agent, (ii) an antibacterial agent, (iii) an antiviral agent, (iv) an antifungal agent, and combinations thereof.

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15. A method for inhibiting transport protein activity comprising administering, to a subject, a compound selected from the group consisting of a structure:



wherein w is 0 to about 6, x is 0 to about 10, and t is 0 to about 6;

A is a substituted heterocyclic group having about 4 to about 9 members;

R¹ is selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group,

R² and R³ are bonded together to form a substituted heterocyclic group having about 4 to about 9 members, with the proviso that the substituted heterocyclic group optionally contains 1 or more members selected from the group consisting of O, and NR¹⁰, wherein R¹⁰ is selected from the group consisting of hydrogen atom, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

R⁴ is selected from the group consisting of -S(O)₂-, -C(O)-, -C(O)-C(O)-, and -CH(R¹)-;

R⁵ is selected from the group consisting of -NR⁶(R⁷)- and -O_rR⁶-,

wherein r is 0 or 1;

R⁶ is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group; and

R⁷ is selected from the group consisting of a hydrogen atom and R⁶;

R⁸ is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

R^9 is selected from the group consisting of a hydrogen atom and a hydrocarbon group;

an optical isomer, a diastereomer, an enantiomer, a pharmaceutically-acceptable salt, a biohydrolyzable amide, a biohydrolyzable ester, and a biohydrolyzable imide of the structure; and combinations thereof.

16. The method of claim 15, further comprising coadministering component (C) a therapeutic agent.

10 17. The method of claim 16, wherein component (C) is coadministered at a time selected from the group consisting of before, during, and after administration of component (A); and combinations thereof.

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